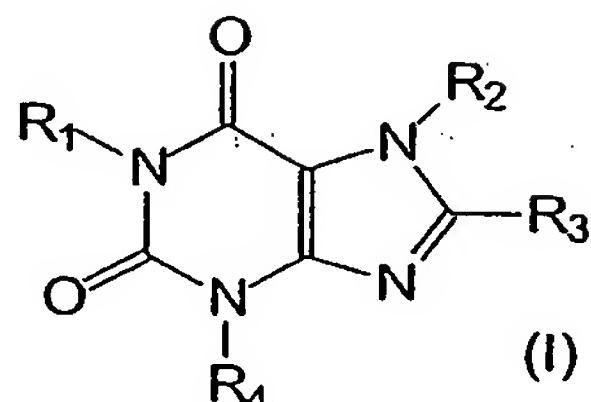


CLAIMS

That which is claimed is:

1. A compound of formula (I):

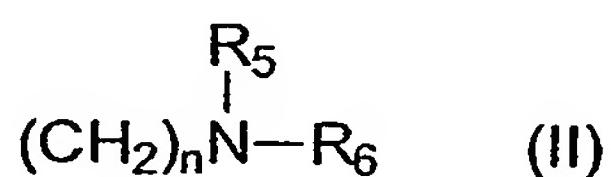


5

wherein

R₁ is a branched or straight chain C₁-C₈ alkyl;

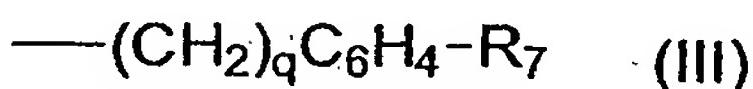
R₂ is of the formula (II),



10 wherein n is an integer ranging from 1 to 8; R₅ is H or (CH₂)_pCH₃, and R₆ is H or (CH₂)_mOH,

wherein p is an integer ranging from 1 to 7 and m is an integer ranging from 1 to 8;

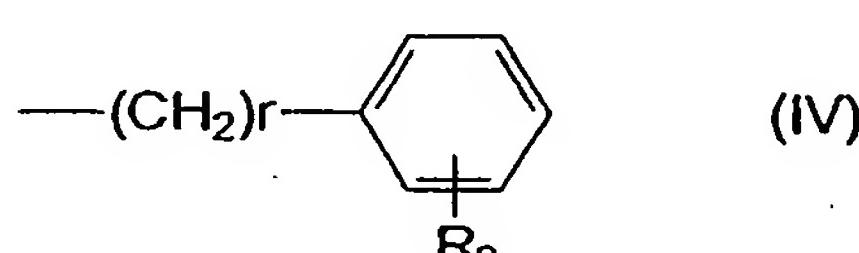
R₃ is of the formula (III),



15 wherein q is an integer ranging from 1 to 8; and R₇ is selected from the group consisting of H, OH, NH₂, (CH₂)_tOH, and R₉COOH;

wherein R₉ is a straight or branched chain alkylene or alkenylene group having 1 to 8 carbon atoms, and t is an integer ranging from 1 to 8;

20 R₄ is of the formula (IV),



25 wherein r is an integer ranging from 1 to 8 and R₈ is ortho or meta and is selected from the group consisting of H, OH, (CH₂)_fNH₂, (CH₂)_sOH, and R₁₀COOH

wherein f is 0 or f and s are independently integers ranging from 1 to 8; and,

R₁₀ is a C₁-C₈ straight or branched chain alkylene or alkenylene; and;

5 salts, solvates, and hydrates thereof.

2. The compound according to Claim 1, wherein R₁ is C₃ alkyl; R₂ is -(CH₂)₂N(CH₂CH₃)(CH₂)₂OH; R₈ is NH₂; R₇ is H; R₈ is NH₂; f is 0; n is 2; q is 1; and r is 2.

10

3. The compound according to Claim 1, wherein R₁ is C₃ alkyl; R₅ is CH₃(CH₂)_p wherein p is 1; R₆ is H; R₇ is NH₂; f is 0; R₈ is NH₂; n is 2; q is 1; and r is 2.

15

4. The compound according to Claim 1, wherein R₁ is C₃ alkyl; R₅ is CH₃(CH₂)_p wherein p is 1; R₆ is H; R₇ is H; R₈ is NH₂; f is 0; n is 2; q is 1; and r is 2.

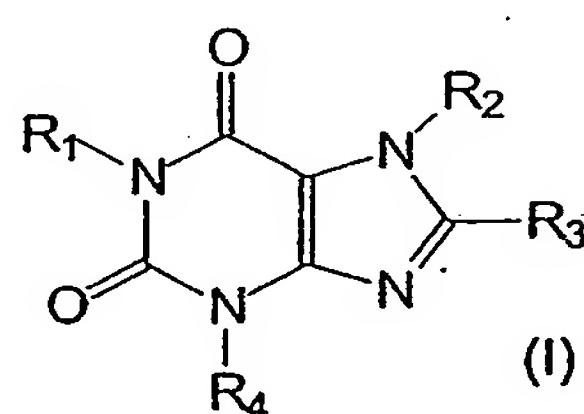
20

5. The compound according to Claim 1, wherein R₁ is C₃ alkyl; R₅ is CH₃(CH₂)_p wherein p is 1; R₆ is H; R₇ is H; R₈ is (CH₂)_sOH wherein s is 2 and R₁₀COOH, wherein R₁₀ is CH=CH; n is 2; q is 1; and r is 2.

25

6. The compound according to Claim 1, wherein R₁ is C₃ alkyl; R₅ is CH₃(CH₂)_p wherein p is 1; R₆ is H; R₇ is (CH₂)_tOH wherein t is 2 and R₉COOH, wherein R₉ is CH=CH; R₈ is NH₂; f is 0; n is 2; q is 1; and r is 2.

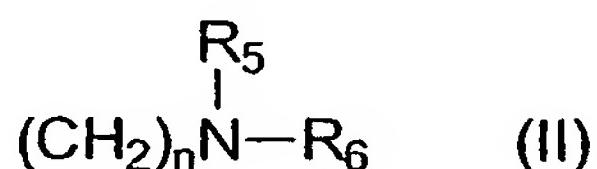
7. A diagnostic assay-type probe of the compound (I):



wherein

30 R₁ is a branched or straight chain C₁-C₈ alkyl;

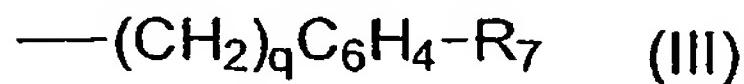
R₂ is of the formula (II),



wherein n is an integer ranging from 1 to 8; R₅ is H or (CH₂)_pCH₃, and R₆ is H or (CH₂)_mOH,

5 wherein p is an integer ranging from 1 to 7 and m is an integer ranging from 1 to 8;

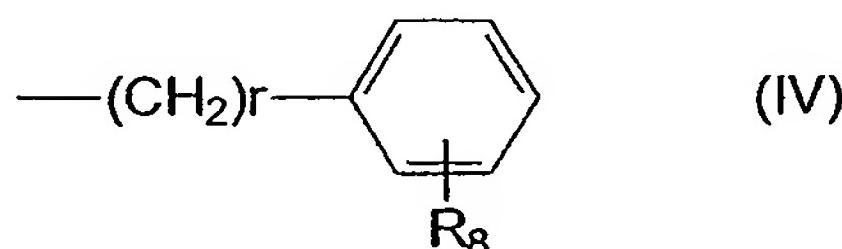
R₃ is of the formula (III),



10 wherein q is an integer ranging from 1 to 8; and R₇ is selected from the group consisting of H, OH, NH₂, (CH₂)_tOH, and R₉COOH;

wherein R₉ is a straight or branched chain alkylene or alkenylene group having 1 to 8 carbon atoms, and t is an integer ranging from 1 to 8;

R₄ is of the formula (IV),



15 wherein r is an integer ranging from 1 to 8 and R₈ is ortho or meta and is selected from the group consisting of H, OH, (CH₂)_fNH₂, (CH₂)_sOH, and R₁₀COOH;

wherein f is 0 or f and s are independently integers ranging from 1 to 8; and,

R₁₀ is a C₁-C₈ straight or branched chain alkylene or alkenylene; and;

salts, solvates, and hydrates thereof;

wherein the compound is labeled by a radioactive or non-radioactive material thereon or optionally connected to the compound of formula (I) by a spacer component present thereon, wherein the spacer component has functionality which bonds to the amine, hydroxyl, or carboxyl functionality present on the R₇ or R₈ substituent of the compound.

8. The assay-type probe according to Claim 7, wherein said non-radioactive material is a fluorescent dye.

9. The assay-type probe according to Claim 7, wherein said non-radioactive material is biotin.

10. The assay-type probe according to Claim 7, wherein said non-radioactive material is a luminescent dye.

10 11. The assay-type probe according to Claim 7, wherein said non-radioactive material is obelin.

12. The assay-type probe according to Claim 7, wherein R₁ is C₃ alkyl, R₅ is CH₃(CH₂)_p; p is 1; R₇ is H; R₈ is NH₂; f is 0; n is 2; q is 1; r is 2; and R₆ is 15 (CH₂)_mOH; m is 2; and the non-radioactive material is biotin bonded to the hydroxyl group present on R₆.

13. The assay-type probe according to Claim 7, wherein R₁ is C₃ alkyl; R₅ is CH₃(CH₂)_p wherein p is 1; R₆ is H; R₇ is NH₂; f is 0; n is 2; q is 1; r is 2; R₈ is 20 NH₂; and the non-radioactive material is biotin bonded to the amino group present on R₈.

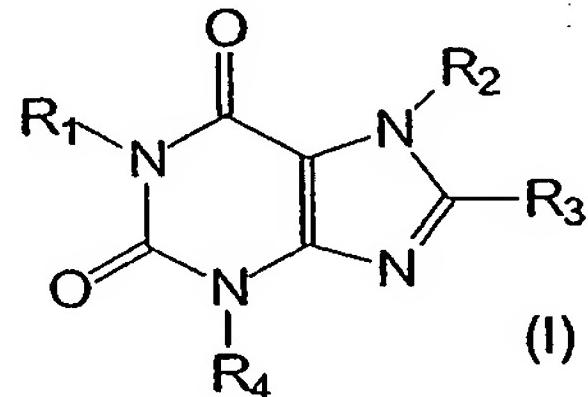
14. The assay-type probe according to Claim 7, wherein R₁ is C₃ alkyl; R₅ is CH₃(CH₂)_p wherein p is 1; R₆ is H; R₇ is H; n is 2; q is 1; r is 2; and R₈ is 25 R₁₀COOH, wherein R₁₀ is an alkylene or alkenylene group having 1 to 8 carbon atoms; and the non-radioactive material is biotin bonded to the carboxyl group present on R₈.

15. The assay-type probe according to Claim 7, wherein the compound is 30 labeled by the radioactive material connected by a spacer component, and the spacer component has functionality which bonds to the amine, hydroxyl, or carboxyl functionality present on the R₇ or R₈ substituent of the compound.

16. The assay-type probe according to Claim 7, wherein the compound is labeled by the radioactive material and the radioactive material is a radioactive isotope selected from the group consisting of ^{18}F , tritium, ^{11}C , ^{13}C , and ^{15}N ; a complex of a metal atom or complex of a metal ion, a chelating agent, or ^{125}I .

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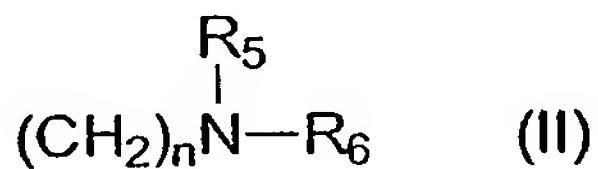
17. An imaging agent for adenosine receptors comprising a compound of Formula (I):



wherein

10 R₁ is a branched or straight chain C₁-C₈ alkyl;

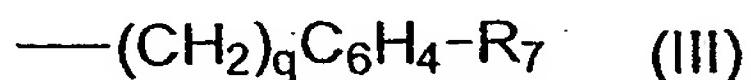
R₂ is of the formula (II),



wherein n is an integer ranging from 1 to 8; R₅ is H or (CH₂)_pCH₃, and R₆ is H or (CH₂)_mOH,

15 wherein p is an integer ranging from 1 to 7 and m is an integer ranging from 1 to 8;

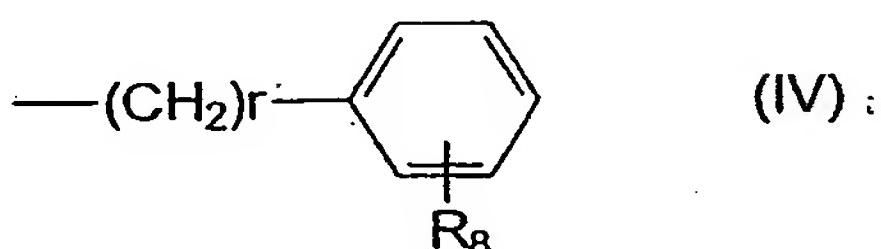
R₃ is of the formula (III),



20 wherein q is an integer ranging from 1 to 8; and R₇ is selected from the group consisting of H, OH, NH₂, (CH₂)_tOH, and R₉COOH;

wherein R₉ is a straight or branched chain alkylene or alkenylene group having 1 to 8 carbon atoms, and t is an integer ranging from 1 to 8;

R₄ is of the formula (IV),



25

wherein r is an integer ranging from 1 to 8 and R₈ is selected from the group consisting of H, OH, (CH₂)_fNH₂, (CH₂)_sOH, and R₁₀COOH

wherein f is 0 or f and s are independently integers ranging from 1 to 8; and,

5 R₁₀ is a C₁-C₈ straight or branched chain alkylene or alkenylene; and;

salts, solvates, and hydrates thereof wherein at least one of its atoms or one or more atoms bonded thereto are radioactively, spin labeled, or both radioactively and spin labeled.

10

18. The imaging agent of according to Claim 17 wherein the marker atom is a nuclear spin labeled.

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19. The imaging agent of according to Claim 18 wherein the marker atom is a ¹⁹F.

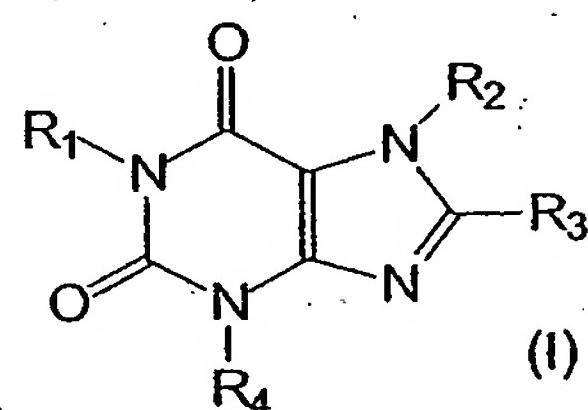
20. The imaging agent of according to Claim 17 wherein the marker atom is a radioactive isotope.

20

21. The imaging agent of according to Claim 17 wherein the radioactive isotope is ¹⁸F, ¹¹C, ¹⁵N, ¹²⁵I, or ³H

25

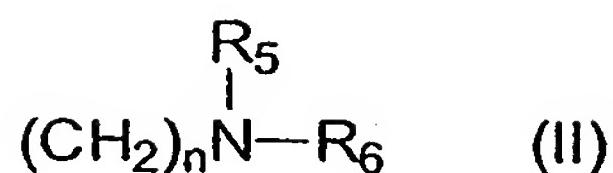
22. A method of treating A₁ adenosine receptor related disorders in a mammal in need of treatment thereof, comprising administering an effective amount of a compound of formula (I):



wherein

R₁ is a branched or straight chain C₁-C₈ alkyl;

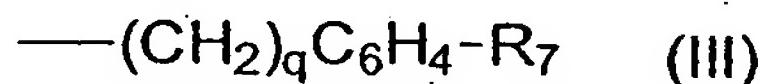
R₂ is of the formula (II),



wherein n is an integer ranging from 1 to 8; R₅ is H or (CH₂)_pCH₃, and R₆ is H or (CH₂)_mOH,

wherein p is an integer ranging from 1 to 7 and m is an integer
5 ranging from 1 to 8;

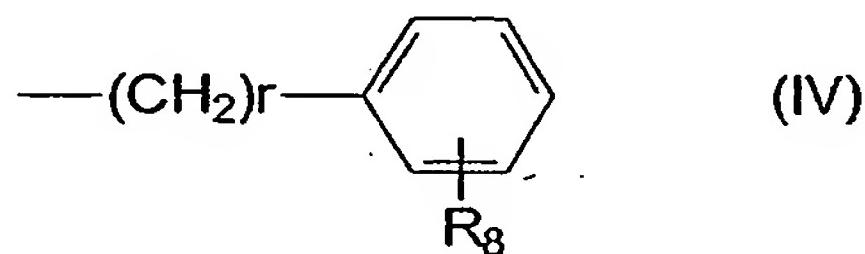
R₃ is of the formula (III),



wherein q is an integer ranging from 1 to 8; and R₇ is selected from the group consisting of H, OH, NH₂, (CH₂)_tOH, and R₉COOH;

10 wherein R₉ is a straight or branched chain alkylene or alkenylene group having 1 to 8 carbon atoms, and t is an integer ranging from 1 to 8;

R₄ is of the formula (IV),



15 wherein r is an integer ranging from 1 to 8 and R₈ is selected from the group consisting of H, OH, (CH₂)_fNH₂, (CH₂)_sOH, and R₁₀COOH

wherein f is 0 or f and s are independently integers ranging from 1 to 8; and,

R₁₀ is a C₁-C₈ straight or branched chain alkylene or alkenylene;

20 and;

pharmaceutically acceptable salts, solvates, and hydrates thereof, or combination of compounds of formula (I), optionally in combination with one or more other therapeutic agents, to the mammal in need thereof.

25 23. The method according to Claim 22 wherein for the compound of formula (I) R₁ is C₃ alkyl; R₅ is CH₃(CH₂)_p wherein p is 1; R₆ is (CH₂)_mOH wherein m is 2; R₇ is H; R₈ is NH₂; f is 0; n is 2; m is 2; q is 1; and r is 2.

24. The method according to Claim 22 wherein for the compound of formula (I) R₁ is C₃ alkyl; R₅ is CH₃(CH₂)_p wherein p is 1; R₆ is H; R₇ is NH₂; R₈ is NH₂; f is 0; n is 2; q is 1; and r is 2.

5 25. The method according to Claim 22 wherein for the compound of formula (I) R₁ is C₃ alkyl; R₅ is CH₃(CH₂)_p wherein p is 1; R₆ is H; R₇ is H; R₈ is NH₂; f is 0; n is 2; q is 1; and r is 2.

10 26. The method according to Claim 22 wherein for the compound of formula (I) R₁ is C₃ alkyl; R₅ is CH₃(CH₂)_p wherein p is 1; R₆ is H; R₇ is H; R₈ is (CH₂)_sOH wherein s is 2 and R₁₀COOH, wherein R₁₀ is CH=CH; n is 2; q is 1; and r is 2.

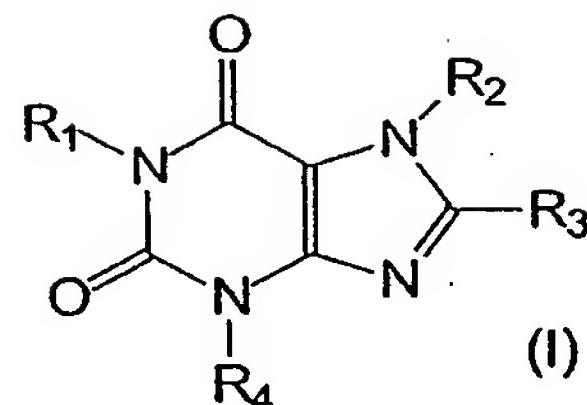
15 27. The method according to Claim 22 wherein for the compound of formula (I) R₁ is C₃ alkyl; R₅ is CH₃(CH₂)_p wherein p is 1; R₆ is H; R₇ is (CH₂)_tOH wherein t is 2 and R₉COOH, wherein R₉ is CH=CH; R₈ is NH₂; f is 0; n is 2; q is 1; and r is 2.

20 28. The method according to Claim 22 wherein the A₁ adenosine receptor related disorder is congestive heart failure, hypertension, ischemia-reperfusion organ injury, endotoxin-related tissue injury, renal failure, Alzheimer's disease, depression, obesity, asthma, diabetes, cystic fibrosis, allergic conditions, autoimmune disorders, inflammatory disorders, chronic obstructive pulmonary disorders, chronic cough, coronary artery disease, biliary colic, postoperative ileus, fibrosis, sclerosis, Adult Respiratory Distress Syndrome (ARDS), acquired immunodeficiency syndrome (AIDS), Acute Lung Injury (ALI), acquired immunodeficiency syndrome (AIDS), Severe Acute Respiratory Syndrome (SARS), septicemia, substance abuse, drug dependence, or Parkinson's disease and the mammal is a human.

25

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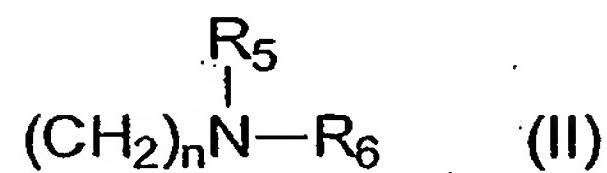
29. A pharmaceutical composition which comprises a compound of Formula (I):



wherein

R₁ is a branched or straight chain C₁-C₈ alkyl;

R₂ is of the formula (II),

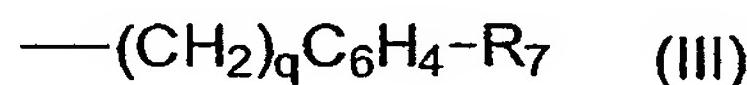


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wherein n is an integer ranging from 1 to 8; R₅ is H or (CH₂)_pCH₃, and R₆ is H or (CH₂)_mOH,

wherein p is an integer ranging from 1 to 7 and m is an integer ranging from 1 to 8;

10 R₃ is of the formula (III),

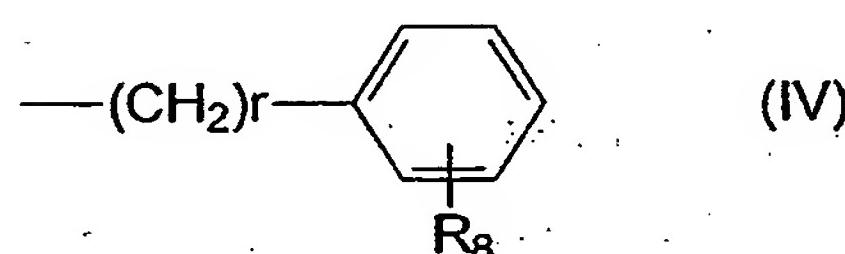


15

wherein q is an integer ranging from 1 to 8; and R₇ is selected from the group consisting of H, OH, NH₂, (CH₂)_tOH, and R₉COOH;

wherein R₉ is a straight or branched chain alkylene or alkenylene group having 1 to 8 carbon atoms, and t is an integer ranging from 1 to 8;

R₄ is of the formula (IV),



20

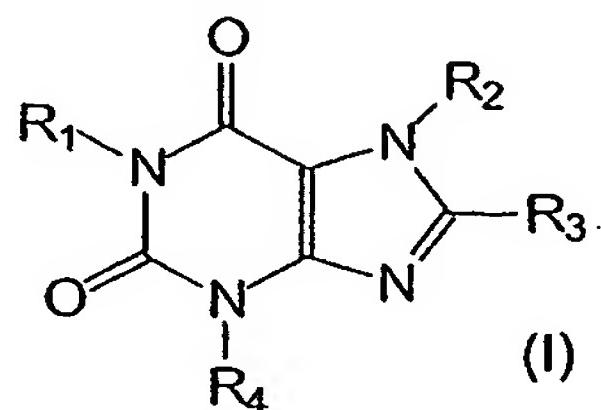
wherein r is an integer ranging from 1 to 8 and R₈ is selected from the group consisting of H, OH, (CH₂)_fNH₂, (CH₂)_sOH, and R₁₀COOH

wherein f is 0 or f and s are independently integers ranging from 1 to 8; and,

R₁₀ is a C₁-C₈ straight or branched chain alkylene or alkenylene; and;

25 pharmaceutically salts, solvates, and hydrates thereof and a pharmaceutically acceptable carrier.

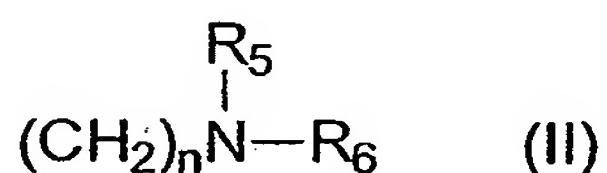
30. A prodrug of the compound of the formula (I):



wherein

R₁ is a branched or straight chain C₁-C₈ alkyl;

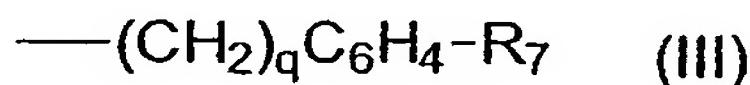
5 R₂ is of the formula (II),



wherein n is an integer ranging from 1 to 8; R₅ is H or (CH₂)_pCH₃, and R₆ is H or (CH₂)_mOH,

wherein p is an integer ranging from 1 to 7 and m is an integer
10 ranging from 1 to 8;

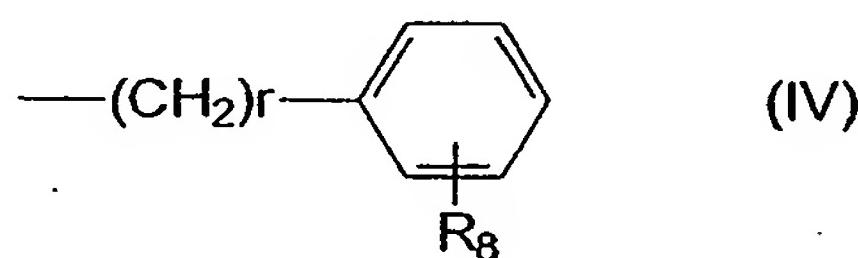
R₃ is of the formula (III),



wherein q is an integer ranging from 1 to 8; and R₇ is selected from the group consisting of H, OH, NH₂, (CH₂)_tOH, and R₉COOH;

15 wherein R₉ is a straight or branched chain alkylene or alkenylene group having 1 to 8 carbon atoms, and t is an integer ranging from 1 to 8;

R₄ is of the formula (IV),



20 wherein r is an integer ranging from 1 to 8 and R₈ is selected from the group consisting of H, OH, (CH₂)_fNH₂, (CH₂)_sOH, and R₁₀COOH

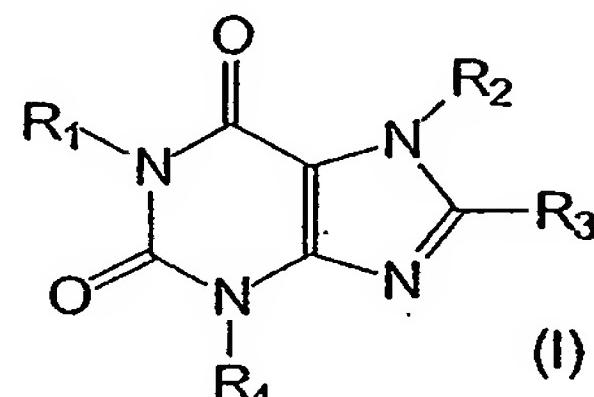
wherein f is 0 or f and s are independently integers ranging from 1 to 8; and,

R₁₀ is a C₁-C₈ straight or branched chain alkylene or alkenylene;
25 and;

salts, solvates, and hydrates thereof.

31. The method of administering an appropriate amount of a prodrug of Claim 30 to a patient in need thereof.

32. A method of preparing a compound of formula (I):

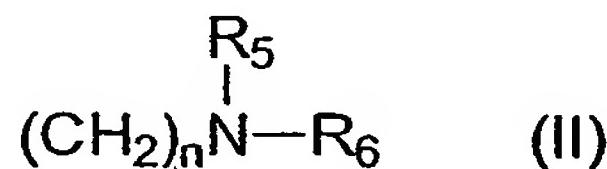


5

wherein

R₁ is a branched or straight chain C₁-C₈ alkyl;

R₂ is of the formula (II),



10 wherein n is an integer ranging from 1 to 8; R₅ is H or (CH₂)_pCH₃, and R₆ is H or (CH₂)_mOH,

wherein p is an integer ranging from 1 to 7 and m is an integer ranging from 1 to 8;

R₃ is of the formula (III),

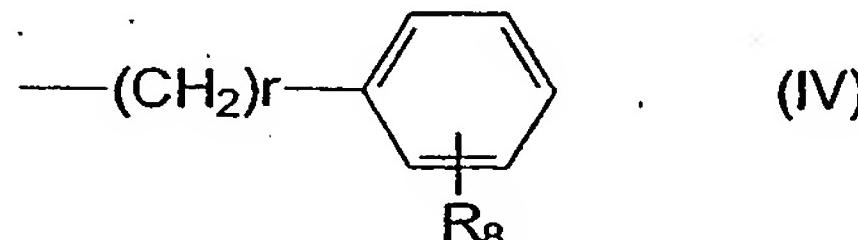


15 wherein q is an integer ranging from 1 to 8; and R₇ is selected from the group consisting of H, OH, NH₂, (CH₂)_tOH, and R₉COOH;

wherein R₉ is a straight or branched chain alkylene or alkenylene group having 1 to 8 carbon atoms, and t is an integer ranging from

20 1 to 8;

R₄ is of the formula (IV),

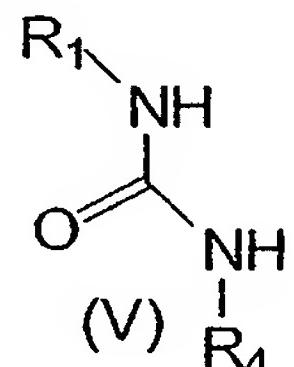


wherein r is an integer ranging from 1 to 8 and R₈ is selected from the group consisting of H, OH, (CH₂)_fNH₂, (CH₂)_sOH, and R₁₀COOH.

25 wherein f is 0 or f and s are independently integers ranging from 1 to 8; and,

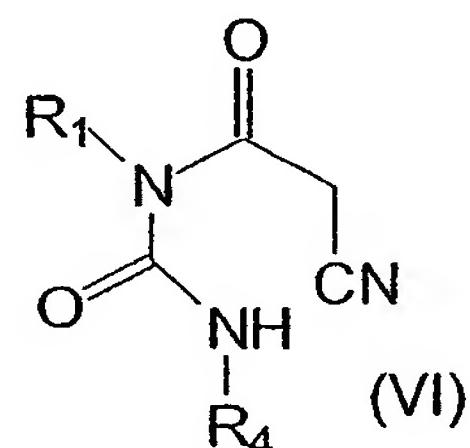
R_{10} is a C₁-C₈ straight or branched chain alkylene or alkenylene; and;
salts, solvates, and hydrates thereof comprising:

- 5 condensing $R_4\text{-NH}_2$ with $R_1\text{NCO}$ to yield a substituted ureas of formula (V)



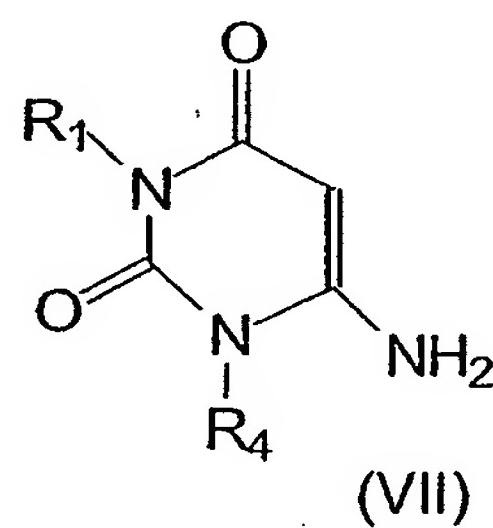
condensing a substituted urea of formula (V) with cyanoacetic acid to yield a compounds of formula (VI),

10

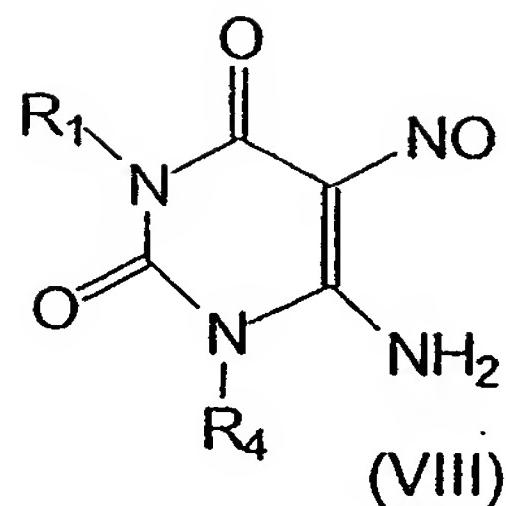


converting a compound of formula (VI) by treatment with strong base to yield a compound of formula (VII),

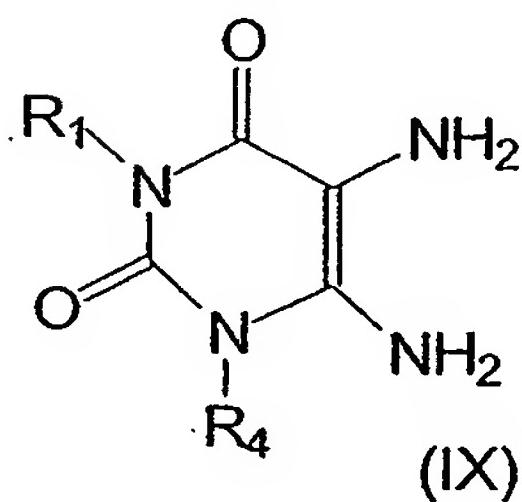
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reacting a compound of formula (VII) with NaNO_2 under acidic conditions to yield a compound of formula (VIII)

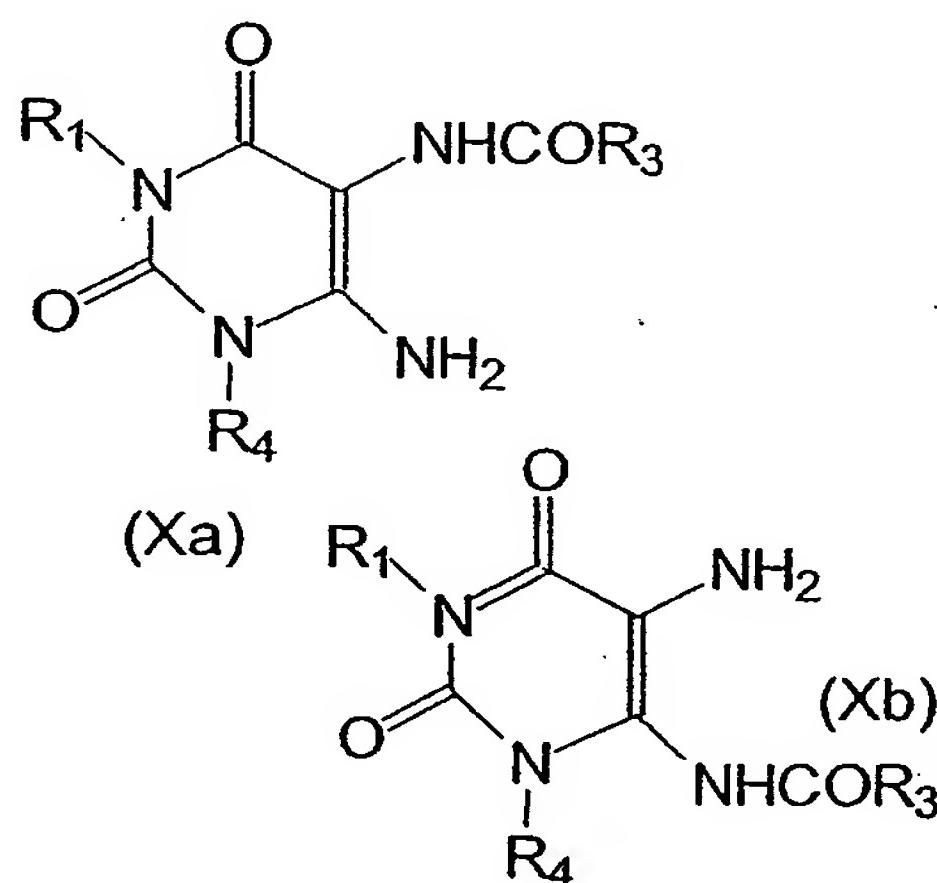


reducing a compound of formula (VIII) to produce a compound of formula (IX)

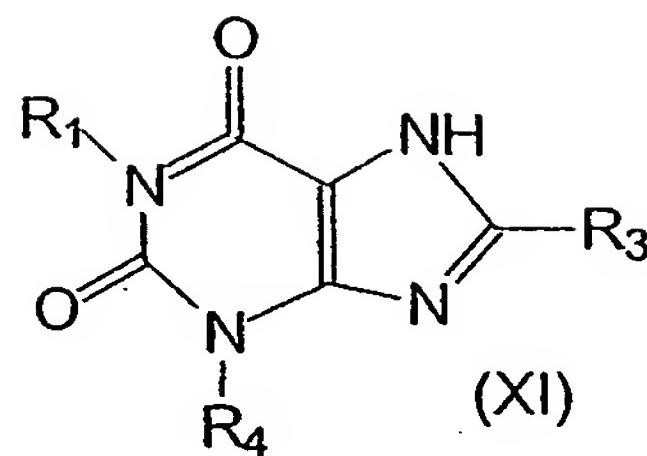


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condensing a compound of formula (IX) with $\text{R}_3\text{-CO}_2\text{H}$ a compound of either formula (Xa) or (Xb),



10 cyclizing a compound of formula (Xa) or (Xb) in the presence of a strong base to form a compound of formula (XI), and



reacting a compound of formula (XI) with L-R2, wherein L is a leaving group, to yield a compound of formula (I).

5 33. The compound according to Claim 1 which is:

- 3-[2-(2-Aminophenyl)ethyl]-8-benzyl-7-(2-methylamino)ethyl-1-propylxanthine,
- 3-[2-(3-Aminophenyl)ethyl]-8-benzyl-7-(2-methylamino)ethyl-1-propylxanthine,
- 3-[2-(2-Aminophenyl)ethyl]-8-benzyl-7-(2-ethylamino)ethyl-1-propylxanthine,
- 3-[2-(3-Aminophenyl)ethyl]-8-benzyl-7-(2-ethylamino)ethyl-1-propylxanthine,
- 10 3-[2-(2-Aminophenyl)ethyl]-7-(2-ethylamino)ethyl-8-[4-(2-hydroxyethyl)]benzyl-1-propylxanthine,
- 3-[2-(3-Aminophenyl)ethyl]-7-(2-ethylamino)ethyl-8-[4-(2-hydroxyethyl)]benzyl-1-propylxanthine,
- 8-(4-Aminobenzyl)-3-[2-(2-aminophenyl)ethyl]-7-[2-ethylamino]ethyl-1-propylxanthine,
- 15 8-(4-Aminobenzyl)-3-[2-(3-aminophenyl)ethyl]-7-[2-ethylamino]ethyl-1-propylxanthine,
- 3-[2-(2-Aminophenyl)ethyl]-8-benzyl-7-(2-ethylamino)ethyl-1-propylxanthine,
- 3-[2-(3-Aminophenyl)ethyl]-8-benzyl-7-(2-ethylamino)ethyl-1-propylxanthine,
- 20 3-[2-(2-Aminophenyl)ethyl]-8-benzyl-7-[2-methyl(2-hydroxyethyl)amino]ethyl-1-propylxanthine,
- 3-[2-(3-Aminophenyl)ethyl]-8-benzyl-7-[2-methyl(2-hydroxyethyl)amino]ethyl-1-propylxanthine,
- 3-[2-(2-Aminophenyl)ethyl]-8-benzyl-7-[2-ethyl(2-hydroxyethyl)amino]ethyl-1-
- 25 propylxanthine,
- 3-[2-(3-Aminophenyl)ethyl]-8-benzyl-7-[2-ethyl(2-hydroxyethyl)amino]ethyl-1-propylxanthine,
- 8-(4-Aminobenzyl)-3-[2-(2-aminophenyl)ethyl]-7-[2-ethyl(2-hydroxyethyl)amino]ethyl-1-propylxanthine,

- 8-(4-Aminobenzyl)-3-[2-(3-aminophenyl)ethyl]-7-[2-ethyl(2-hydroxyethyl)amino]ethyl-1-propylxanthine,
3-[4-(2-Aminophenyl)butyl]-8-benzyl-7-[2-ethyl(2-hydroxyethyl)amino]ethyl-1-propylxanthine,
5 3-[6-(2-Aminophenyl)hexyl]-8-benzyl-7-[2-ethyl(2-hydroxyethyl)-amino]ethyl-1-propylxanthine,
3-[4-(2-Aminophenyl)butyl]-8-benzyl-7-(2-ethylamino)ethyl-1-propylxanthine,
3-[6-(2-Aminophenyl)hexyl]-8-benzyl-7-(2-ethylamino)ethyl-1-propylxanthine,
3-[4-(3-Aminophenyl)butyl]-8-benzyl-7-[2-ethyl(2-hydroxyethyl)amino]ethyl-1-
10 propylxanthine,
3-[6-(3-Aminophenyl)hexyl]-8-benzyl-7-[2-ethyl(2-hydroxyethyl)-amino]ethyl-1-
propylxanthine,
3-[4-(3-Aminophenyl)butyl]-8-benzyl-7-(2-ethylamino)ethyl-1-propylxanthine or
3-[6-(3-Aminophenyl)hexyl]-8-benzyl-7-(2-ethylamino)ethyl-1-propylxanthine.

15